Jan Deharal

Access DB# 24703

SEARCH REQUEST FORM

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SEP 26 2

Scientific and Technical Information Center

Requester's Full Name: Alysia Berman Examiner #: 76457 Date: 9/25/00

Art Unit: 1619 Phone Number 30 8-4638 Serial Number: 09/1005052

Mail Box and Bldg/Room Location: CM1-2B19 Results Format Preferred (circle): PAPER DISK E-MAIL

3006

If mor than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: See attached

Inventors (please provide full names): See attached

Earliest Priority Filing Date: 3/4/1996

***For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.**

1.) Tablet (s) (coated or coating)

2.) mizolastine

3.) fatty matrix of (hydrogenated castor oil (s) or (hydrogenated lecithin) or [(lauric or palmitic or stearic or oleic or linoleic or linolenic or arachidonic or myristic or palmitoleic) (3a) acid]

or [(triglyceride (5a) (ester or esterified or esterification) (5a) (capric or caproic or caprylic or fatty) (3a) acid)]

3. ~~organic acid~~ (maleic or tartaric or malic or fumaric or lactic or citric or adipic or succinic)

See claims 1, 3 & 4 attached.

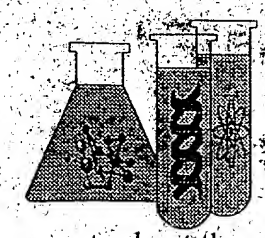
****

STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher: [illegible]	NA Sequence (#)	STN ✓
Searcher Phone #: 4498	AA Sequence (#)	Dialog
Searcher Location:	Structure (#)	Questel/Orbit
Date Searcher Picked Up: 9/28	Bibliographic ✓	Dr.Link
Date Completed: 9/29	Litigation	Lexis/Nexis
Searcher Prep & Review Time:	Fulltext	Sequence Systems
Clerical Prep Time: 10	Patent Family	WWW/Internet
Online Time: < 30	Other	Other (specify)

PTO-1590 (1-2000)

Biotechnology/Chemical Division

Scientific and Technical Information Center



Search Results Feedback Form

The results for your recent search request are attached. If you have any questions or comments about the scope or the results of the search, please contact the searcher whose name is stamped below.

Point of Contact:
Jan Delaval
Librarian-Physical Sciences
CM1 1E01 Tel: 308-4498

John Dantzman	308-4488	Jan Delaval	308-4498	Mary Hale	308-4258	Susan Hanley	305-4053
Edward Hart	305-9203	Barb O'Bryen	308-4291	Toby Port	308-3534	David Schreiber	308-4292
Beverly Shears	308-4994	Paula Sheppard	308-4499	Mona Smith	308-3278	Alex Waclawiw	308-4491

Compliment or Complaint, contact:

Stephanie Publicker
Chief, Information Branch – STIC
Phone: 308-4740

Arti Shah
Division Chief – Biotech/Chem Division – STIC
Phone: 308-4259

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=> fil reg
FILE 'REGISTRY' ENTERED AT 17:19:08 ON 28 SEP 2000
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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STRUCTURE FILE UPDATES:   27 SEP 2000  HIGHEST RN 291505-74-3
DICTIONARY FILE UPDATES:  27 SEP 2000  HIGHEST RN 291505-74-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 11, 2000

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Structure search limits have been increased.  See HELP SLIMIT
for details.

=> d ide can tot

L60  ANSWER 1 OF 9  REGISTRY  COPYRIGHT 2000 ACS
RN   108612-45-9  REGISTRY
CN   4(1H)-Pyrimidinone, 2-[[1-[1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-
     yl]-4-piperidinyl]methylamino]- (9CI)  (CA INDEX NAME)
OTHER NAMES:
CN   Mizolastine
CN   MKC 431
MF   C24 H25 F N6 O
SR   CA
LC   STN Files:   ADISINSIGHT, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS,
       BIOTECHNO, CA, CAPLUS, CBNB, CIN, DDFU, DRUGNL, DRUGPAT, DRUGU,
       DRUGUPDATES, EMBASE, IPA, MEDLINE, MRCK*, PHAR, PROMT, RTECS*, TOXLINE,
       TOXLIT, USAN, USPATFULL
         (*File contains numerically searchable property data)
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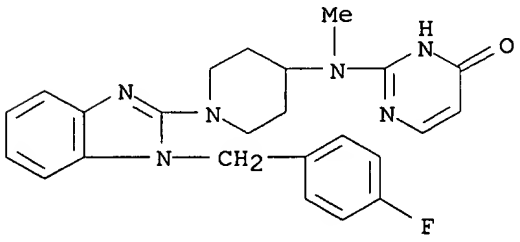


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              86 REFERENCES IN FILE CA (1967 TO DATE)
              86 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE   1:  133:168392

REFERENCE   2:  132:284236

REFERENCE   3:  132:260387

REFERENCE   4:  132:202829

REFERENCE   5:  132:58989

REFERENCE   6:  131:351331

REFERENCE   7:  131:346521

REFERENCE   8:  131:331871

REFERENCE   9:  131:193925
```

Point of Contact:
Jan Delaval
Librarian-Physical Sciences
CM1 1E01 Tel: 308-4498

REFERENCE 10: 131:164986

L60 ANSWER 2 OF 9 REGISTRY COPYRIGHT 2000 ACS
RN **56090-54-1** REGISTRY
CN Triglycerol (9CI) (CA INDEX NAME)
OTHER NAMES:
CN Glycerol trimer
CN Triglycerin
DR 54682-61-0, 43198-81-8
MF C9 H20 O7
CI IDS, COM, MAN
LC STN Files: AGRICOLA, BIOBUSINESS, BIOSIS, CA, CAPLUS, CASREACT, CHEMLIST, EMBASE, IFICDB, IFIPAT, IFIUDB, PROMT, TOXLIT, USPATFULL
Other Sources: EINECS**
(**Enter CHEMLIST File for up-to-date regulatory information)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***
254 REFERENCES IN FILE CA (1967 TO DATE)
95 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
254 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 133:165726

REFERENCE 2: 133:151428

REFERENCE 3: 133:137718

REFERENCE 4: 133:124917

REFERENCE 5: 133:93037

REFERENCE 6: 133:44312

REFERENCE 7: 133:8228

REFERENCE 8: 132:307609

REFERENCE 9: 132:278465

REFERENCE 10: 132:278313

L60 ANSWER 3 OF 9 REGISTRY COPYRIGHT 2000 ACS
RN **6915-15-7** REGISTRY
CN Butanedioic acid, hydroxy- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Malic acid (8CI)
OTHER NAMES:
CN (.+-.)-Malic acid
CN .alpha.-Hydroxysuccinic acid
CN 2-Hydroxybutanedioic acid
CN 2-Hydroxyethane-1,2-dicarboxylic acid
CN 2-Hydroxysuccinic acid
CN Deoxytetraric acid
CN dl-Malic acid
CN DL-Malic acid
CN FDA 2018
CN Hydroxybutanedioic acid
CN Hydroxysuccinic acid
CN Musashi-no-Ringosan
CN Pomalus Acid
CN R,S(.+-.)-Malic acid
FS 3D CONCORD
DR 617-48-1, 41308-42-3
MF C4 H6 O5
CI COM

LC STN Files: AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA, CANCERLIT, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, DDFU, DETHERM*, DIOGENES, DIPPR*, DRUGU, EMBASE, GMELIN*, HODOC*, HSDB*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, NAPRALERT, NIOSHTIC, PDLCOM*, PIRA, PROMT, RTECS*, SPECINFO, TOXLINE, TOXLIT, TULSA, USAN, USPATFULL, VETU, VTB
(*File contains numerically searchable property data)
Other Sources: DSL**, EINECS**, TSCA**
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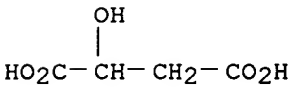


12040 REFERENCES IN FILE CA (1967 TO DATE)
559 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
12063 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 133:198663

REFERENCE 2: 133:198661

REFERENCE 3: 133:198426

REFERENCE 4: 133:198288

REFERENCE 5: 133:194754

REFERENCE 6: 133:192369

REFERENCE 7: 133:192271

REFERENCE 8: 133:192169

REFERENCE 9: 133:190868

REFERENCE 10: 133:190310

L60 ANSWER 4 OF 9 REGISTRY COPYRIGHT 2000 ACS
RN **124-04-9** REGISTRY
CN Hexanedioic acid (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Adipic acid (8CI)
OTHER NAMES:
CN 1,4-Butanedicarboxylic acid
CN 1,6-Hexanedioic acid
CN Acifloctin
CN Acinetten
CN Adilactetten
CN Asapic
CN Inipol DS
FS 3D CONCORD
MF C6 H10 O4
CI COM
LC STN Files: AGRICOLA, ANABSTR, APILIT, APILIT2, APIPAT, APIPAT2, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CHEMSAFE, CIN, CSCHEM, CSNB, DDFU, DETHERM*, DIPPR*, DRUGU, EMBASE, GMELIN*, HODOC*, HSDB*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, NAPRALERT, NIOSHTIC, PDLCOM*, PIRA, PROMT, RTECS*, SPECINFO, TOXLINE, TOXLIT, TRCTHERMO*, TULSA, ULIDAT, USPATFULL, VTB
(*File contains numerically searchable property data)
Other Sources: DSL**, EINECS**, TSCA**
(**Enter CHEMLIST File for up-to-date regulatory information)

$HO_2C-(CH_2)_4-CO_2H$

8380 REFERENCES IN FILE CA (1967 TO DATE)
2216 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
8397 REFERENCES IN FILE CAPLUS (1967 TO DATE)
12 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 133:198288

REFERENCE 2: 133:197645

REFERENCE 3: 133:195579

REFERENCE 4: 133:194965

REFERENCE 5: 133:194695

REFERENCE 6: 133:194403

REFERENCE 7: 133:193951

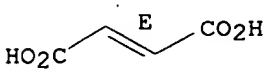
REFERENCE 8: 133:193639

REFERENCE 9: 133:192271

REFERENCE 10: 133:192124

L60 ANSWER 5 OF 9 REGISTRY COPYRIGHT 2000 ACS
RN **110-17-8** REGISTRY
CN 2-Butenedioic acid (2E)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 2-Butenedioic acid (E)-
CN Fumaric acid (8CI)
OTHER NAMES:
CN 2-(E)-Butenedioic acid
CN 2-Butenedioic acid, (E)-
CN Allomaleic acid
CN Boletic acid
CN FC 33
CN Lichenic acid
CN trans-1,2-Ethylenedicarboxylic acid
CN trans-2-Butenedioic acid
CN trans-Butenedioic acid
FS STEREOSEARCH
MF C4 H4 O4
CI COM
LC STN Files: AGRICOLA, ANABSTR, APILIT, APILIT2, APIPAT, APIPAT2, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, CSNB, DDFU, DETHERM*, DIPPR*, DRUGU, EMBASE, GMELIN*, HODOC*, HSDB*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, NAPRALERT, NIOSHTIC, PDLCOM*, PIRA, PROMT, RTECS*, SPECINFO, TOXLINE, TOXLIT, TRCTHERMO*, TULSA, USAN, USPATFULL, VETU, VTB
(*File contains numerically searchable property data)
Other Sources: DSL**, EINECS**, TSCA**
(**Enter CHEMLIST File for up-to-date regulatory information)

Double bond geometry as shown.



7360 REFERENCES IN FILE CA (1967 TO DATE)
1189 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
7372 REFERENCES IN FILE CAPLUS (1967 TO DATE)
2 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 133:198661

REFERENCE 2: 133:198288

REFERENCE 3: 133:195485

REFERENCE 4: 133:194189

REFERENCE 5: 133:192496

REFERENCE 6: 133:192124

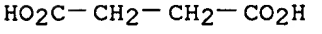
REFERENCE 7: 133:192026

REFERENCE 8: 133:190412

REFERENCE 9: 133:190134

REFERENCE 10: 133:189765

L60 ANSWER 6 OF 9 REGISTRY COPYRIGHT 2000 ACS
RN **110-15-6** REGISTRY
CN Butanedioic acid (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Succinic acid (8CI)
OTHER NAMES:
CN 1,2-Ethanedicarboxylic acid
CN 1,4-Butanedioic acid
CN Amber acid
CN Asuccin
CN Dihydrofumaric acid
CN Katasuccin
CN Wormwood acid
FS 3D CONCORD
MF C4 H6 O4
CI COM
LC STN Files: AGRICOLA, ANABSTR, APILIT, APILIT2, APIPAT, APIPAT2, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, DDFU, DETHERM*, DIOGENES, DIPPR*, DRUGU, EMBASE, GMELIN*, HODOC*, HSDB*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, NAPRALERT, NIOSHTIC, PDLCOM*, PIRA, PROMT, RTECS*, SPECINFO, TOXLINE, TOXLIT, TRCTHERMO*, TULSA, ULIDAT, USPATFULL, VETU, VTB
(*File contains numerically searchable property data)
Other Sources: DSL**, EINECS**, TSCA**
(**Enter CHEMLIST File for up-to-date regulatory information)



15837 REFERENCES IN FILE CA (1967 TO DATE)
1760 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
15870 REFERENCES IN FILE CAPLUS (1967 TO DATE)
9 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 133:202207

REFERENCE 2: 133:202078

REFERENCE 3: 133:198463

REFERENCE 4: 133:198288

REFERENCE 5: 133:195814

REFERENCE 6: 133:195582

REFERENCE 7: 133:195210

REFERENCE 8: 133:195023

REFERENCE 9: 133:192271

REFERENCE 10: 133:192124

L60 ANSWER 7 OF 9 REGISTRY COPYRIGHT 2000 ACS
RN **87-69-4** REGISTRY
CN Butanedioic acid, 2,3-dihydroxy- (2R,3R)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Butanedioic acid, 2,3-dihydroxy- [R-(R*,R*)]-
CN Tartaric acid, L-(+)- (8CI)
OTHER NAMES:
CN (+)-(R,R)-Tartaric acid
CN (+)-L-Tartaric acid
CN (+)-Tartaric acid
CN (2R,3R)-(+)-Tartaric acid
CN (2R,3R)-Tartaric acid
CN (R,R)-(+)-Tartaric acid
CN (R,R)-Tartaric acid
CN 1,2-Dihydroxyethane-1,2-dicarboxylic acid
CN 2,3-Dihydroxybutanedioic acid
CN d-.alpha.,.beta.-Dihydroxysuccinic acid
CN d-Tartaric acid
CN Dextrotartaric acid
CN L-(+)-Tartaric acid
CN L-Tartaric acid
CN Natural tartaric acid
CN PN: WO9948371 PAGE: 27 claimed sequence
CN Tartaric acid
CN Threaric acid
AR 526-83-0
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DR 8014-54-8, 8059-77-6, 1336-18-1
MF C4 H6 O6
CI COM
LC STN Files: AGRICOLA, ANABSTR, APILIT, APILIT2, APIPAT, APIPAT2, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, DDFU, DETHERM*, DIOGENES, DRUGU, EMBASE, GMELIN*, HODOC*, IFICDB, IFIPAT, IFIUDB, IPA, MRCK*, MSDS-OHS, NAPRALERT, NIOSHTIC, PDLCOM*, PIRA, PROMT, RTECS*, SPECINFO, TOXLINE, TOXLIT, TULSA, USAN, USPATFULL
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Other Sources: DSL**, EINECS**, TSCA**
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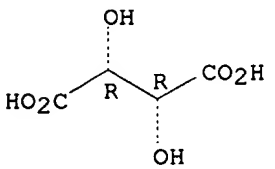
Absolute stereochemistry.



11190 REFERENCES IN FILE CA (1967 TO DATE)

1135 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
11208 REFERENCES IN FILE CAPLUS (1967 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 133:202389

REFERENCE 2: 133:199329

REFERENCE 3: 133:198651

REFERENCE 4: 133:198577

REFERENCE 5: 133:196738

REFERENCE 6: 133:192448

REFERENCE 7: 133:192372

REFERENCE 8: 133:192271

REFERENCE 9: 133:192169

REFERENCE 10: 133:189301

L60 ANSWER 8 OF 9 REGISTRY COPYRIGHT 2000 ACS
RN **77-92-9** REGISTRY
CN 1,2,3-Propanetricarboxylic acid, 2-hydroxy- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Citric acid (8CI)
OTHER NAMES:
CN 2-Hydroxy-1,2,3-propanetricarboxylic acid
CN Aciletten
CN Chemfill
CN Citretten
CN Citro
CN Hydrocerol A
FS 3D CONCORD
DR 12262-73-6, 43136-35-2, 245654-34-6
MF C6 H8 O7
CI COM
LC STN Files: AGRICOLA, AIDSLINE, ANABSTR, APILIT, APILIT2, APIPAT, APIPAT2, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, CSNB, DDFU, DETHERM*, DIOGENES, DIPPR*, DRUGU, EMBASE, GMELIN*, HODOC*, HSDB*, IFICDB, IFIPAT, IFIUDB, IMSDIRECTORY, IPA, MEDLINE, MRCK*, MSDS-OHS, NAPRALERT, NIOSHTIC, PDLCOM*, PIRA, PROMT, RTECS*, SPECINFO, TOXLINE, TOXLIT, TULSA, USAN, USPATFULL, VETU, VTB
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Other Sources: DSL**, EINECS**, TSCA**
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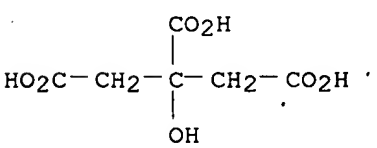


32816 REFERENCES IN FILE CA (1967 TO DATE)
2125 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
32883 REFERENCES IN FILE CAPLUS (1967 TO DATE)
9 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 133:201269

REFERENCE 2: 133:199930

REFERENCE 3: 133:199329

REFERENCE 4: 133:198687

REFERENCE 5: 133:198673

REFERENCE 6: 133:198671

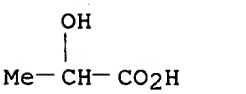
REFERENCE 7: 133:198663

REFERENCE 8: 133:198661

REFERENCE 9: 133:198651

REFERENCE 10: 133:198649

L60 ANSWER 9 OF 9 REGISTRY COPYRIGHT 2000 ACS
RN **50-21-5** REGISTRY
CN Propanoic acid, 2-hydroxy- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Lactic acid (7CI, 8CI)
OTHER NAMES:
CN (.+-.)-Lactic acid
CN .alpha.-Hydroxypropanoic acid
CN .alpha.-Hydroxypropionic acid
CN 2-Hydroxypropanoic acid
CN 2-Hydroxypropionic acid
CN Biolac
CN Chem-Cast
CN dl-Lactic acid
CN DL-Lactic acid
CN Milk acid
CN Tonsillosan
FS 3D CONCORD
DR 152-36-3, 598-82-3
MF C3 H6 O3
CI COM
LC STN Files: AGRICOLA, AIDSLINE, ANABSTR, APILIT, APILIT2, APIPAT, APIPAT2, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA, CANCERLIT, CAOLD, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, CSNB, DDFU, DETHERM*, DIOGENES, DIPPR*, DRUGU, EMBASE, GMELIN*, HSDB*, IFICDB, IFIPAT, IFIUDB, IPA, MEDLINE, MRCK*, MSDS-OHS, NAPRALERT, NIOSHTIC, PDLCOM*, PIRA, PROMT, RTECS*, SPECINFO, TOXLINE, TOXLIT, TULSA, USAN, USPATFULL, VETU, VTB
(*File contains numerically searchable property data)
Other Sources: DSL**, EINECS**, TSCA**
(**Enter CHEMLIST File for up-to-date regulatory information)



32435 REFERENCES IN FILE CA (1967 TO DATE)
1081 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA
32473 REFERENCES IN FILE CAPLUS (1967 TO DATE)
1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

REFERENCE 1: 133:202078

REFERENCE 2: 133:201557

REFERENCE 3: 133:199329

REFERENCE 4: 133:198772

REFERENCE 5: 133:198663

REFERENCE 6: 133:198655

REFERENCE 7: 133:198410

REFERENCE 8: 133:197778

REFERENCE 9: 133:194907

REFERENCE 10: 133:194600

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FILE COVERS 1967 - 28 Sep 2000 VOL 133 ISS 14
FILE LAST UPDATED: 27 Sep 2000 (20000927/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGistRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

Now you can extend your author, patent assignee, patent information, and title searches back to 1907. The records from 1907-1966 now have this searchable data in CAOLD. You now have electronic access to all of CA: 1907 to 1966 in CAOLD and 1967 to the present in HCAPLUS on STN.

=> d all l59

L59 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2000 ACS
AN 1997:617976 HCAPLUS
DN 127:268032
TI Slow-release pharmaceutical formulations containing **mizolastine**
IN **Chariot, Maryvonne; Lewis, Gareth; Montel, Jean**
PA **Synthelabo S. A., Fr.**; Chariot, Maryvonne; Lewis, Gareth; Montel, Jean
SO PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DT Patent
LA French
IC ICM A61K031-495
ICS A61K009-20
CC 63-6 (Pharmaceuticals)
FAN.CNT 1

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RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
FR 2745500 A1 19970905 FR 1996-2662 19960304 <--
FR 2745500 B1 19980403
CA 2247405 AA 19970912 CA 1997-2247405 19970228 <--
AU 9719300 A1 19970922 AU 1997-19300 19970228 <--
CN 1212624 A 19990331 CN 1997-192804 19970228 <--
EP 906101 A1 19990407 EP 1997-907145 19970228 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO
BR 9707827 A 19990727 BR 1997-7827 19970228 <--
JP 2000512617 T2 20000926 JP 1997-531506 19970228 <--
NO 9804035 A 19981022 NO 1998-4035 19980902 <--
PRAI FR 1996-2662 19960304 <--
WO 1997-FR355 19970228
AB A slow-release pharmaceutical formulation contg. **mizolastine** comprises a core consisting of a slow-release **tablet** contg. **mizolastine** combined with a **fatty** matrix, and an org. acid, said **tablet** being coated. Slow-release **tablets** contained **mizolastine** 4.8, hydrogenated **castor oil** 12, lactose 60.0, microcryst. cellulose 9.6, L-**tartaric** acid 9.6, polyvidone 2.9, anhyd. colloidal silica 0.2, magnesium **stearate** 0.9, and water q.s. 100%. The **tablets** were coated with a compn. contg. methylhydroxy Pr cellulose 74.0, titanium dioxide 18.5, propylene glycol 7.5, and water q.s. 100%.
ST slow release pharmaceutical **tablet mizolastine**
IT **Lecithins**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(hydrogenated; slow-release pharmaceutical formulations contg. **mizolastine**)
IT Controlled release **tablets** (drug delivery systems)
(slow release; slow-release pharmaceutical formulations contg. **mizolastine**)
IT Hydrogenated **castor oil**
Organic acids
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(slow-release pharmaceutical formulations contg. **mizolastine**)
IT **50-21-5**, biological studies **77-92-9**, biological studies **87-69-4**, L-**Tartaric** acid, biological studies **110-15-6**, **Butanedioic** acid, biological studies **110-17-8**, 2-**Butenedioic** acid (E)-, biological studies **124-04-9**, **Adipic** acid, biological studies **6915-15-7**, **Malic** acid **56090-54-1**, **Triglycerin 108612-45-9**, **Mizolastine**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(slow-release pharmaceutical formulations contg. **mizolastine**)

=> d all l55 tot

L55 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2000 ACS
AN 1996:672354 HCAPLUS
DN 126:295
TI Suitability of automated capillary and micro liquid chromatography for routine determination of drugs in human plasma samples from clinical pharmacokinetic investigations
AU Malavasi, Bruno; Ascalone, Vittorio
CS Dep. Chem. Pharmaceutical Development, **Synthelabo** Recherche

(L.E.R.S.), Limito, I-20090, Italy
SO J. High Resolut. Chromatogr. (**1996**), 19(9), 503-510
CODEN: JHRCE7; ISSN: 0935-6304
PB Huethig
DT Journal
LA English
CC 1-1 (Pharmacology)
AB One of the most widely acclaimed features of capillary and microcolumn LC, in comparison with conventional HPLC, is the enormous increase in mass selectivity. Nevertheless, application of capillary and micro LC in quant. trace bioanal., characterized by weak analyte concns. in complex matrixes, can only be of any practical utility if large sample vols. can be injected onto the columns without affecting chromatog. resoln. and efficiency. Two applications of large vol. injection in a non-eluting solvent (on column focusing) for the quant. anal. of drugs in biol. fluids on both capillary and micro chromatog. systems were presented: the 1st example deals with a new selective H1-antihistaminic drug, **mizolastine**, the 2nd one with a well known Ca antagonist, dilitiazem, and its main metabolites. For both compds., results obtained on micro and capillary LC in comparison with conventional HPLC were reported. The results demonstrated that when conventional HPLC methods were transformed into either micro or capillary LC techniques, they gained in sensitivity. By means of an on-column focusing technique, it was possible to increase the sensitivity 3-5 fold in comparison to conventional HPLC methods, but not 50-60 fold as obtained on synthetic drug solns. Column robustness, handiness, reproducibility,and suitability of micro systems for routine bioanal. were discussed for both capillary and micro LC columns, as well as limits of the technique in trace org. anal. problems.
ST drug detn plasma blood liq chromatog
IT Liquid chromatography
(capillary; suitability of automated capillary and micro liq. chromatog. for routine detn. of drugs in human plasma)
IT Liquid chromatography
(microchromatog.; suitability of automated capillary and micro liq. chromatog. for routine detn. of drugs in human plasma)
IT Blood analysis
(suitability of automated capillary and micro liq. chromatog. for routine detn. of drugs in human plasma)
IT **108612-45-9, Mizolastine**
RL: ANT (Analyte); BOC (Biological occurrence); ANST (Analytical study); BIOL (Biological study); OCCU (Occurrence)
(suitability of automated capillary and micro liq. chromatog. for routine detn. of drugs in human plasma)
IT 42399-41-7, Diltiazem
RL: ANT (Analyte); BPR (Biological process); ANST (Analytical study); BIOL (Biological study); PROC (Process)
(suitability of automated capillary and micro liq. chromatog. for routine detn. of drugs in human plasma)

L55 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2000 ACS
AN 1996:163357 HCAPLUS
DN 124:250171
TI Inhibition of histamine-induced skin wheal and flare after 5 days of **mizolastine**
AU Pinquier, Jean-Louis; Caplain, Henri; Cabanis, Marie-Josee; Dubruc, Catherine; Stalla-Bourdillon, Aline; Rosenzweig, Pierre
CS **Synthelabo Recherche, Bagneux, 92225, Fr.**
SO J. Clin. Pharmacol. (**1996**), 36(1), 72-8
CODEN: JCPCBR; ISSN: 0091-2700
DT Journal
LA English
CC 1-7 (Pharmacology)
AB **Mizolastine** is a new, nonsedating antihistamine providing satisfactory symptomatic relief in allergic rhinitis and urticaria. The purpose of this study was to use inhibition of wheal and flare formation

after 2-.mu.g intradermal histamine injections as a measure of the antihistamine effect of repeated doses of **mizolastine**. Eight volunteers were enrolled in this four-arm, double-blind, cross-over, randomized study. Three dose levels of once-daily **mizolastine** (5 mg, 10 mg, and 15 mg) were compared with placebo during 5-day dose periods. Histamine tests were performed before drug intake on days 1 and 5, and then 2, 3, 4, 6, 8, 10, 12, 14, and 24 h after drug intake on day 5. All 3 doses of **mizolastine** were more effective than placebo in suppressing wheal and flare reactions, and the antihistamine activity was highest at both the 10- and 15-mg dose levels. The effect on the flare reaction appeared within 1 h, reached a max. effect 4 h after administration, and persisted for as long as 24 h. The relative changes in wheal and flare areas were correlated with **mizolastine** trough plasma levels on day 5. Safety was satisfactory in all groups. This study confirms that **mizolastine** is a rapid and potent antihistamine; and its long-lasting effectiveness indicates that a once-daily regimen is acceptable for clin. use.

ST antihistamine antiallergic **mizolastine** skin wheal flare

IT Allergy inhibitors
Antihistaminics
Flares
(**mizolastine** inhibition of histamine-induced skin wheal and flare)

IT Skin, disease
(wheal, **mizolastine** inhibition of histamine-induced skin wheal and flare)

IT **108612-45-9, Mizolastine**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**mizolastine** inhibition of histamine-induced skin wheal and flare)

L55 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2000 ACS
AN 1996:69113 HCAPLUS
DN 124:135320
TI **Mizolastine**, a novel selective histamine H1 receptor antagonist: Lack of sedative potential on the EEG in the rodent
AU Depoortere, H.; Decobert, M.; Granger, P.; Francon, D.
CS **Synthelabo Recherche (LERS), Bagneux, F-92220, Fr.**
SO Neuropsychobiology (**1995**), 32(4), 214-21
CODEN: NPBYAL; ISSN: 0302-282X
DT Journal
LA English
CC 1-9 (Pharmacology)
AB The sedative potential of **mizolastine**, a new, potent and selective antagonist of histamine H1-receptors, has been evaluated in the rodent with EEG techniques. In chronically implanted rabbits, sedation was obsd. in ECoG recordings after i.v. injection of terfenadine (1-10 mg/kg) and loratadine (0.3-3 mg/kg) but not after i.v. injection of astemizole or **mizolastine** (1-10 mg/kg). In freely moving implanted rats, **mizolastine** and cetirizine (10 mg/kg i.p.) did not modify the sleep-wakefulness pattern recorded during the dark period nor did **mizolastine** alter the sleep architecture recorded in rats during the light period. In contrast, during the dark-period recording, astemizole, loratadine and terfenadine (10 mg/kg i.p.) increased the total duration of slow-wave sleep; this sleep-facilitating effect had a late onset of action, beginning 3 h after drug injection. In conclusion, the results obtained with astemizole, cetirizine, loratadine and terfenadine demonstrate their low sedative potential in the rat, and suggest that the absence or low incidence of sedation seen in humans with these drugs may be due to their limited ability to cross the blood brain-barrier, esp. at recommended therapeutic doses. **Mizolastine** appears to be devoid of sedative effects in our exptl. models irresp. of the route of administration used. These results predict a lack of sedative action in humans with **mizolastine** at therapeutic doses.

ST antihistaminic H1 **mizolastine** sedation

IT Antihistaminics

(H1, sedative potential of histamine H1 receptor antagonist **mizolastine**)
IT Mental activity
(sedation, sedative potential of histamine H1 receptor antagonist **mizolastine**)
IT **108612-45-9, Mizolastine**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sedative potential of histamine H1 receptor antagonist **mizolastine**)

L55 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2000 ACS
AN 1996:59288 HCAPLUS
DN 124:164660
TI Comparative wheal and flare study of **mizolastine** vs. terfenadine, cetirizine, loratadine and placebo in healthy volunteers
AU Rosenzweig, P.; Caplain, H.; Chaufour, S.; Ulliac, N.; Cabanis, M. J.; Thebault, J. J.
CS **Synthelabo Recherche (LERS), Bagneux, 92225, Fr.**
SO Br. J. Clin. Pharmacol. (**1995**), 40(5), 459-65
CODEN: BCPHBM; ISSN: 0306-5251
DT Journal
LA English
CC 1-7 (Pharmacology)
AB **Mizolastine**, a new benzimidazole deriv. with potent selective, non-sedative H1-histamine antagonist activity was compared with terfenadine, cetirizine and loratadine using the histamine-induced wheal and flare model in healthy volunteers. Study design was a five way double-blind crossover design using a single dose of **mizolastine** 10 mg, terfenadine 120 mg, cetirizine 10 mg, loratadine 10 mg and placebo. Histamine tests were performed on 10 occasions up to +24 h after dosing using an intradermal injection of histamine 2 .mu.g with concomitant contralateral injection of a saline control. **Mizolastine**, terfenadine, cetirizine and loratadine significantly ($P<0.001$ vs placebo) inhibited the wheal and flare formation starting 1 to 2 h after dosing up to 24 h after dosing. **Mizolastine** was significantly more active than loratadine on the wheal ($P < 0.01$) and flare ($P<0.05$) inhibition from 3 up to 6 and 8 h resp., as active as terfenadine on both parameters and as active as cetirizine on wheal inhibition while less active ($P<0.01$) than cetirizine on flare inhibition at 2 and 12 h post-dosing.
ST antihistaminic **mizolastine** terfenadine cetirizine loratadine
IT Antihistaminics
(comparative wheal and flare study of **mizolastine** vs. terfenadine, cetirizine, loratadine and placebo in healthy human volunteers)
IT 50679-08-8, Terfenadine 79794-75-5, Loratadine 83881-51-0, Cetirizine **108612-45-9, Mizolastine**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comparative wheal and flare study of **mizolastine** vs. terfenadine, cetirizine, loratadine and placebo in healthy human volunteers)

L55 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2000 ACS
AN 1995:644939 HCAPLUS
DN 123:74435
TI Anti-anaphylactic activity of the novel selective histamine H1 receptor antagonist **mizolastine** in the rodent
AU Levrier, J.; Duval, D.; Prouteau, M.; Voltz, C.; Berry, C. N.; Lloyd, K. G.; Scatton, B.
CS Central Nervous System Research Department, **Synthelabo** Recherche, Bagneux, Fr.
SO Arzneim.-Forsch. (**1995**), 45(5), 559-68
CODEN: ARZNAD; ISSN: 0004-4172
DT Journal

LA English
CC 1-7 (Pharmacology)
AB The anti-anaphylactic/anti-histamine activity of **mizolastine** (SL 85.0324), a novel histamine H1 receptor antagonist devoid of sedative properties, has been evaluated in the rat, mouse and guinea pig. **Mizolastine** inhibited the passive cutaneous anaphylactic reaction caused by ovalbumin challenge in the rat (ED50 = 0.7 mg/kg i.v., 1.6 mg/kg/g p.o.) and effectively protected rats from the lethal shock induced by compd. 48/80 (ED50 = 0.07 mg/kg p.o.). **Mizolastine** protected actively sensitized guinea pigs from anaphylactic mortality, bronchospasm and respiratory difficulties (increase in pulmonary resistance) preceding this event and from morphol. modifications at doses from 0.05 mg/kg i.v. The pharmacol. activity of **mizolastine** is linked to a selective blockade of histamine H1 receptors as indicated by the ability of this compd. to antagonize rat paw edema induced by the sub-plantar injection of histamine (ED50 = 0.5 mg/kg p.o.) but not that induced by the injection of serotonin or bradykinin. **Mizolastine** also antagonized the increase in cutaneous capillary permeability caused by the intradermal injection of histamine (-80% at 0.3 mg/kg p.o.) and compd. 48/80 (ED50 = 1.1 mg/kg p.o.) but not that induced by serotonin in the rat. In the guinea pig, **mizolastine** antagonized i.v. histamine-induced bronchoconstriction (ED50 = 0.03 mg/kg p.o.) and histamine-induced vascular permeability and edema in trachea and bronchi (ED50 .ltoreq. 0.05 mg/kg i.v.). Moreover, at higher doses, **mizolastine** antagonized the bronchospasm caused by systemic injection of platelet-activating factor (PAF) and leukotriene D4 (LTD4) (ED50's = 0.30 and 3.0 mg/kg p.o., resp.). However, **mizolastine** only weakly antagonized bronchospasm induced by aerosolized PAF (-67% at 50 mg/kg p.o.), failed to antagonize (.ltoreq.3 mg/kg i.v.) PAF-induced microvascular permeability of the tracheal mucosa in the guinea pig and was a weak inhibitor of PAF-induced platelet aggregation in the rabbit (IC50 = 74 .mu.mol/l). In addn. to antagonizing histamine H1 receptors, **mizolastine** also inhibits the release of histamine during allergic reactions in tissues. Thus, **mizolastine** antagonizes the antigen-induced in vivo release of histamine from mast cells in bronchoalveolar lavages of actively sensitized guinea pigs (minimal ED 0.3 mg/kg p.o.) and the release of histamine from mast cells in the peritoneal fluid of passively sensitized rats (ED50 = 0.9 mg/kg i.v.). In these various models, **mizolastine** was more potent than loratadine and terfenadine but less potent from ketotifen. The apparent half-life for the pharmacol. actions of **mizolastine** ranged from 6 to 8 h. These data altogether indicate that **mizolastine** is highly effective in animal models for allergy and asthma. The mechanism of the anti-anaphylactic effect of this compd. is likely to involve direct antagonism of the actions of allergic mediators at their target sites as well as inhibition of histamine release from mast cells.
ST **mizolastine** anaphylaxis inhibitor H1 antihistaminic
IT Allergy inhibitors
Anaphylaxis
(anti-anaphylactic activity of the novel selective histamine H1 receptor antagonist **mizolastine** in the rodent)
IT Antihistaminics
(H1, anti-anaphylactic activity of the novel selective histamine H1 receptor antagonist **mizolastine** in the rodent)
IT Bronchodilators
(antiasthmatics, anti-anaphylactic activity of the novel selective histamine H1 receptor antagonist **mizolastine** in the rodent)
IT **108612-45-9**, **Mizolastine**
RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-anaphylactic activity of the novel selective histamine H1 receptor antagonist **mizolastine** in the rodent)
IT 51-45-6, Histamine, biological studies
RL: BPR (Biological process); BIOL (Biological study); PROC (Process)
(release; anti-anaphylactic activity of the novel selective histamine H1 receptor antagonist **mizolastine** in the rodent)

L55 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2000 ACS
AN 1995:644938 HCAPLUS
DN 123:74596
TI In vivo and in vitro interaction of the novel selective histamine H1 receptor antagonist **mizolastine** with H1 receptors in the rodent
AU Benavides, J.; Schoemaker, H.; Dana, C.; Claustre, Y.; Delahaye, M.; Prouteau, M.; Manoury, P.; Allen, J.; Scatton, B.; et al.
CS Internal Medicine Research Dep., **Synthelabo** Recherche, Rueil-Malmaison, Fr.
SO Arzneim.-Forsch. (**1995**), 45(5), 551-8
CODEN: ARZNAD; ISSN: 0004-4172
DT Journal
LA English
CC 1-9 (Pharmacology)
AB The interaction of **mizolastine** (SL 85.0324) with histamine H1 receptors has been evaluated in the rodent. **Mizolastine** inhibited with high affinity (IC50 = 47 nM) the binding of [3H]pyrilamine to histamine H1 receptors in guinea pig cerebellar membranes and sections. The order of potency of **mizolastine** and various H1 antagonists in this binding assay was the following: cyprohepatidine > pyrilamine > mequitazine > **mizolastine** > astemizole > terfenadine > cetirizine > loratadine. **Mizolastine** also potently antagonized the contractile effects of histamine in the guinea pig ileum (pA2 = 8.5) and histamine-induced stimulation of phosphoinositide turnover in rat cortical slices (IC50 = 0.35 .mu.M). In contrast, this compd. displayed very low affinity for serotonergic, noradrenergic and muscarinic cholinergic receptors as evidenced in both binding assays and functional tests. In guinea pig cerebellar membranes, [3H]**mizolastine** labeled in a saturable and reversible manner a single population of binding sites with Kd and Bmax values of 1.1 nM and 635 fmol/mg protein, resp. [3H]**Mizolastine** binding in guinea pig cerebellar membranes was inhibited by histamine (IC50 = 30 .mu.M) and by drugs that possess affinity for the H1 receptor such as pyrilamine (IC50 = 1 nM), DL-chlorphenyramine (IC50 = 6.4 nM) terfenadine (IC50 = 6 nM) and loratadine (IC50 = 50 nM). At concns. lower than 10 .mu.M, the H2 receptor ligands dimaprit and cimetidine and the H3 receptor ligands burimamide and 4-methyl-histamine failed to displace [3H] **mizolastine** binding. The autoradiog. distribution of [3H] **mizolastine** binding sites in the guinea pig brain was that expected for the specific labeling of histamine H1 receptors, the highest radioligand levels being found in the mol. layer of the cerebellum, the dentate gyrus, the superficial gray layer of the superior colliculus, and the lateroposterior and ventromedial thalamic nuclei. Intermediate densities were found in the intermediate gray layer and deep layer of the superior colliculus, substantia nigra, striatum, inferior colliculus and subiculum, while low binding densities were quantified in the granular layer of the cerebellum, zona incerta and reticular thalamic nucleus. **Mizolastine** only weakly displaced in vivo binding of [3H]pyrilamine in the mouse cerebellum (ID50 = 1.5 mg/kg i.p.) and the ex vivo binding of this ligand in the guinea pit cerebellum (16% inhibition at 3 mg/kg i.p. at 1 h post-injection), suggesting that at doses exhibiting antihistamine activity in the periphery, the occupancy of brain histamine H1 receptors is minimal and probably insufficient to cause sedation.
ST histamine H1 receptor antagonist **mizolastine**
IT Antihistaminics
(H1, in vivo and in vitro interaction of the novel selective histamine H1 receptor antagonist **mizolastine** with H1 receptors in the rodent)
IT **108612-45-9, Mizolastine**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(in vivo and in vitro interaction of the novel selective histamine H1 receptor antagonist **mizolastine** with H1 receptors in the

rodent)

L55 ANSWER 7 OF 12 HCAPLUS COPYRIGHT 2000 ACS
AN 1995:431556 HCAPLUS
DN 122:204588
TI Lack of interaction between a new antihistamine, **mizolastine**, and lorazepam on psychomotor performance and memory in healthy volunteers
AU Patat, A.; Perault, M. C.; Vandel, B.; Ulliac, N.; Zieleniuk, I.; Rosenzweig, P.
CS **Synthelabo Recherche, Clinical Research Department, Bagneux, 92225, Fr.**
SO Br. J. Clin. Pharmacol. (**1995**), 39(1), 31-8
CODEN: BCPHBM; ISSN: 0306-5251
DT Journal
LA English
CC 1-4 (Pharmacology)
AB The possible interaction between a new H1 antihistamine, **mizolastine**, and lorazepam was assessed in a randomized, double-blind, cross-over, placebo-controlled study involving 16 healthy young male volunteers who received **mizolastine** 10 mg or placebo once daily for 8 days with a 1 wk wash-out interval. The interaction of **mizolastine**, at steady-state, with a single oral dose of lorazepam or placebo was assessed on days 6 or 8 of each treatment period. Psychomotor performance and cognitive function were evaluated using objective tests (crit. flicker fusion threshold, choice reaction time, tapping, arithmetic calcn., body sway) and self-ratings (visual analog scale, ARCI) before and at 2, 4, 6 and 8 h after dosing. Short-term memory (Sternberg memory scanning, immediate free recall of a word list) and long-term memory (delayed free recall and recognition of words and pictures) were assessed before and at 3 h after dosing. Pharmacodynamic interactions were evaluated by repeated measures ANOVA in a 2 .times. 2 factorial interaction model. **Mizolastine**, 10 mg once daily, at steady-state, was devoid of sedation and detrimental effect on skilled performance and memory. In contrast, a single 2 mg dose of lorazepam produced marked impairment of psychomotor performance, cognitive functions (significant redn. in flicker fusion threshold, tapping and arithmetic calcn. and increase in reaction times and body sway) and subjective sedation from 2 to 8 h after dosing. In addn., lorazepam induced an anterograde amnesia, characterized by a decrease in delayed free recall and recognition, and a deficit in short term memory. **Mizolastine** did not potentiate the detrimental effect of lorazepam. The time course and the intensity of the disruption induced by the combination of lorazepam and **mizolastine** closely paralleled the changes induced by lorazepam alone.
ST **mizolastine** interaction lorazepam psychomotor performance memory
IT Drug interactions
Memory, biological
(lack of interaction between a new antihistamine, **mizolastine**, and lorazepam on psychomotor performance and memory in healthy volunteers)
IT Antihistaminics
(H1, lack of interaction between a new antihistamine, **mizolastine**, and lorazepam on psychomotor performance and memory in healthy volunteers)
IT Mental activity
(cognition, lack of interaction between a new antihistamine, **mizolastine**, and lorazepam on psychomotor performance and memory in healthy volunteers)
IT Mental activity
(psychomotor, lack of interaction between a new antihistamine, **mizolastine**, and lorazepam on psychomotor performance and memory in healthy volunteers)
IT 846-49-1, Lorazepam **108612-45-9, Mizolastine**
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(lack of interaction between a new antihistamine, **mizolastine**

, and lorazepam on psychomotor performance and memory in healthy volunteers)

L55 ANSWER 8 OF 12 HCAPLUS COPYRIGHT 2000 ACS
AN 1993:661934 HCAPLUS
DN 119:261934
TI Determination of **mizolastine**, a new antihistaminic drug, in human plasma by liquid-liquid extraction, solid-phase extraction and column-switching techniques in combination with high-performance liquid chromatography
AU Ascalone, V.; Guinebault, P.; Rouchouse, A.
CS Dep. Clin. Res., **Synthelabo** Rech., Limito, 20090, Italy
SO J. Chromatogr., Biomed. Appl. (**1993**), 619(2), 275-84
CODEN: JCBADL; ISSN: 0378-4347
DT Journal
LA English
CC 1-1 (Pharmacology)
AB For the detn. of **mizolastine** (2-[[[1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl]-4-piperidinyl]methylamino]-4(3H)-pyrimidinone, SL 85.0324), a new antihistaminic drug, in human plasma, 3 methods were developed based on liq.-liq. extn., solid-phase extn. and column-switching in combination with HPLC with UV detection. The liq.-liq. extn. method included a back-extn. step that preconcs. the drug into a small aq. vol., resulting in very high sensitivity (0.5 ng/mL of plasma); it can be used in conventional bioanal. labs. that do not have sophisticated automatic devices. The solid-phase extn. method is performed by using a robotic system (Benchmate). It is completely automated from the initial sampling to the final injection into the chromatograph. It has a good sensitivity (1 ng/mL of plasma), but requires an expensive app. and skilled analysts. The column-switching method is based on a solid-phase extn. performed online with chromatog. anal.; it is not completely automatic, because some operations are performed manually. The device required for valve switching is not expensive and can be managed by a simple integrator or a personal computer; it is very easy to use and affords a sensitivity (2.5 ng/mL of plasma) that generally satisfies the needs of pharmacokinetic studies of **mizolastine**. The conditions were similar for all the 3 methods: a C8 type column, an eluent of phosphate buffer and MeCN, and a spectrophotometric UV detector operated at 285 nm.
ST **mizolastine** detn blood HPLC extn method; liq chromatog **mizolastine** blood
IT Blood analysis
(**mizolastine** detn. in human, by HPLC, extn. methods in)
IT **108612-45-9, Mizolastine**
RL: ANT (Analyte); ANST (Analytical study)
(detn. of, in blood of humans, by HPLC, extn. methods in)

L55 ANSWER 9 OF 12 HCAPLUS COPYRIGHT 2000 ACS
AN 1993:440158 HCAPLUS
DN 119:40158
TI Coupled liquid-liquid extraction and column switching LC for the determination of a new antihistaminic H1 drug in human urine
AU Malavasi, B.; Locatelli, M.; Ascalone, V.
CS Clin. Res. Unit, **Synthelabo** Rech., Limito, 20090, Italy
SO Chromatographia (**1993**), 36, 337-42
CODEN: CHRGB7; ISSN: 0009-5893
DT Journal
LA English
CC 1-1 (Pharmacology)
AB **Mizolastine** (SL 85.0324) is a new antihistaminic H1 benzimidazole deriv. which is excreted into urine almost completely metabolized; about 2% of the unchanged drug is excreted as conjugated compd. which requires enzymic deconjugation before anal. Since the existing methods for plasma samples do not work on deconjugated human urine due to interferences, a new method was developed. The method is based on a diethyl-ether extn. of **mizolastine** and an internal std. from alkalinized urine. The ether ext. is back-extd. with an aq.

buffer (pH = 2.6), this ext. is neutralized (pH = 6.5) and an aliquot injected into a C18 pre-column where clean up and pre-concn. take place. The analytes are then desorbed from the pre-column and transferred to the anal. column. the anal. column is a C18 type specially deactivated for basic compds. with an eluent of acetonitrile/phosphate soln. (pH = 4.5), 40/60, vol./vol., at a flow rate of 1 mL min-1. Detection is at 285 nm. The method is linear in the range 10-500 ng mL-1 with a lower limit of detection of 10 ng mL-1. The precision and accuracy, evaluated during intra-day and inter-day assays, are satisfactory for pharmacokinetic investigations.

ST **mizolastine** urine liq chromatog

IT Urine analysis
(**mizolastine** detn. in human, by coupled liq.-liq. extn. and column switching LC)

IT **108612-45-9, Mizolastine**
RL: ANT (Analyte); ANST (Analytical study)
(detn. of, in human urine by coupled liq.-liq. extn. and column switching LC)

L55 ANSWER 10 OF 12 HCAPLUS COPYRIGHT 2000 ACS
AN 1992:644913 HCAPLUS
DN 117:244913
TI Pharmacodynamics and pharmacokinetics of **mizolastine** (SL 85.0324), a new nonsedative H1 antihistamine
AU Rosenzweig, Pierre; Thebault, Jean Jacques; Caplain, Henri; Dubruc, Catherine; Bianchetti, Gabrio; Fuseau, Eliane; Morselli, Paolo Lucio
CS **Synthelabo Rech., Bagneux, 92225, Fr.**
SO Ann. Allergy (**1992**), 69(2), 135-9
CODEN: ANAEA3; ISSN: 0003-4738
DT Journal
LA English
CC 1-2 (Pharmacology)
AB The antihistaminic activity, clin. safety, and pharmacokinetics of **mizolastine** was studied in healthy volunteers with doses of 1-75 mg. Inhibition of the histamine-induced wheal and flare showed clear dose-dependent antihistaminic activity beginning from the 2-mg dose with a max. attained between 10 and 20 mg. The onset of action was rapid (1 h) and the effect persisted for >24 h after the 10-mg dose or more. **Mizolastine** was well tolerated at doses .ltoreq.75 mg; subjective and objective signs of transient sedative activity were not obsd. at doses <30 mg. The pharmacokinetic profile (rapid absorption with tmax .simeq. 1 h and elimination t1/2 of about 8 h) paralleled the pharmacodynamic activity. Within the considered dose range, the pharmacokinetics was linear with no satn. phenomena.

ST **mizolastine** pharmacokinetics antihistaminic pharmacol

IT Antihistaminics
(H1, **mizolastine** as, pharmacokinetics and pharmacodynamics of, in humans)

IT **108612-45-9, Mizolastine**
RL: BIOL (Biological study)
(pharmacokinetics and antihistaminic pharmacol. of, in humans)

L55 ANSWER 11 OF 12 HCAPLUS COPYRIGHT 2000 ACS
AN 1992:625947 HCAPLUS
DN 117:225947
TI Assessment of the anticholinergic effect of the new antihistamine **mizolastine** in healthy subjects
AU Danjou, P.; Molinier, P.; Berlin, I.; Patat, A.; Rosenzweig, P.; Morselli, P. L.
CS Dep. Clin. Res., **Synthelabo** Rech. (LERS), Bagneux, 92225, Fr.
SO Br. J. Clin. Pharmacol. (**1992**), 34(4), 328-31
CODEN: BCPHBM; ISSN: 0306-5251
DT Journal
LA English
CC 1-7 (Pharmacology)
AB Twelve healthy subjects were enrolled in a double-blind placebo controlled

cross-over study in order to assess the possible anticholinergic effects of four doses of a new antihistamine compd., **mizolastine**, compared with hyoscine butylbromide (HBB) used as a ref. anticholinergic drug. Although **mizolastine**, a potent and selective H1-receptor blocker has no affinity for muscarinic receptors and does not antagonize the effects of carbachol in rodents, a study was initiated to investigate its effects on various effectors possessing muscarinic receptors (eye, heart, sweat gland, salivary gland). HBB (40 mg, s.c.) impaired accommodation, decreased salivary flow and inhibited cardiac sinus arrhythmia. Pupil diam. and max. constriction speed, carbachol-induced skin sweating and Valsalva ratio were unaffected. **Mizolastine** (5, 10, 20, 40 mg po) did not affect any parameter at any time point, demonstrating a lack of anticholinergic effect.

ST **mizolastine** antihistamine muscarinic receptor

IT Antihistaminics
(**mizolastine** as, in humans, anticholinergic activity of)

IT Receptors
RL: BIOL (Biological study)
(muscarinic, **mizolastine** effect on, in humans as antihistamine)

IT **108612-45-9, Mizolastine**
RL: BAC (Biological activity or effector, except adverse); BIOL (Biological study)
(anticholinergic activity of, in humans, as antihistamine)

L55 ANSWER 12 OF 12 HCAPLUS COPYRIGHT 2000 ACS

AN 1987:407211 HCAPLUS

DN 107:7211

TI 2-[4-(Pyrimidin-2-ylamino)piperidin-1-yl]benzimidazole derivatives as allergy inhibitors

PA **Synthelabo S. A., Fr.**

SO Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

IC ICM C07D401-14
ICS C07D405-14; C07D471-04

ICA A61K031-505

ICI C07D401-14, C07D211-00, C07D235-00, C07D239-00; C07D401-14, C07D211-00, C07D213-00, C07D235-00, C07D239-00; C07D401-14, C07D211-00, C07D213-00, C07D235-00; C07D405-14, C07D211-00, C07D235-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

FAN.CNT 1

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PI	JP 62061979	A2	19870318	JP 1986-214978	19860910 <--
	JP 04060596	B4	19920928		
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	FR 2587029	B1	19871030		
	EP 217700	A1	19870408	EP 1986-401928	19860902 <--
	EP 217700	B1	19940330		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	AT 103603	E	19940415	AT 1986-401928	19860902 <--
	IL 79992	A1	19900712	IL 1986-79992	19860909 <--
	AU 8662552	A1	19870312	AU 1986-62552	19860910 <--
	AU 582894	B2	19890413		
	FI 8603661	A	19870312	FI 1986-3661	19860910 <--
	FI 87210	B	19920831		
	FI 87210	C	19921210		
	DK 8604315	A	19870312	DK 1986-4315	19860910 <--
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	NO 8603608	A	19870312	NO 1986-3608	19860910 <--
	NO 167026	B	19910617		
	NO 167026	C	19910925		
	ZA 8606901	A	19870429	ZA 1986-6901	19860910 <--

	HU 41771	A2	19870528	HU 1986-3907	19860910 <--
	HU 196597	B	19881228		
	ES 2001782	A6	19880616	ES 1986-1773	19860910 <--
	US 4820710	A	19890411	US 1986-906279	19860910 <--
	CA 1272486	A1	19900807	CA 1986-517916	19860910 <--
	US 4912219	A	19900327	US 1988-283468	19881212 <--
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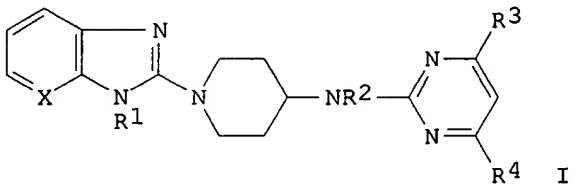
	EP 1986-401928	19860902 <--			
	US 1986-906279	19860910 <--			

GI



I

AB The title compds. [I; X = CH, N; R1 = H, (un)substituted PhCH2, (un)substituted heterocyclylmethyl; R2, R4 = H, C1-4 alkyl; R3 = H, OH], their tautomers and salts, were prepd. as allergy inhibitors. A mixt. of 4-(methylamino)piperidine and 1-(4-fluorobenzyl)-2-chlorobenzimidazole in Me2CHCH2OH contg. K2CO3 was refluxed for 192 h to give 1-[1-(4-fluorobenzyl)-1H-benzimidazol-2-yl]-4-(methylamino)piperidine which was heated with (methylthio)uracil at 170.degree. for 10 h to give I (X = CH; R1 = p-FC6H2CH2; R2 = Me; R3 = OH; R4 = H).

ST pyrimidinylaminopiperidinylbenzimidazole prepn allergy inhibitor; piperidinylbenzimidazole pyrimidinylamino prepn allergy inhibitor; benzimidazole pyrimidinylaminopiperidinyl prepn allergy inhibitor; imidazole pyrimidinylaminopiperidinyl prepn allergy inhibitor; imidazopyridine pyrimidinylaminopiperidinyl prepn allergy inhibitor

IT Allergy inhibitors
([(pyrimidinylamino)piperidinyl]benzimidazole derivs.)

IT 73733-69-4
RL: RCT (Reactant)
(aminolysis by, of (methylthio)uracil)

IT 45584-07-4, 4-(Methylamino)piperidine 108612-54-0
RL: RCT (Reactant)
(aminolysis by, of chlorobenzimidazole)

IT 108612-46-0
RL: RCT (Reactant)
(aminolysis by, of chlorobenzimidazole deriv.)

IT 1722-12-9, 2-Chloropyrimidine 108612-51-7
RL: RCT (Reactant)
(aminolysis of, by aminopiperidine deriv.)

IT 56-04-2, (Methylthio)uracil
RL: RCT (Reactant)
(aminolysis of, by aminopiperidine derivs.)

IT 4857-06-1, 2-Chloro-1H-benzimidazole 84946-20-3
RL: RCT (Reactant)
(aminolysis of, by piperidine deriv.)

IT 108612-49-3P 108612-56-2P 108635-83-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and aminolysis by, of (methylthio)uracil)

IT 108612-74-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and aminolysis by, of chloroimidazopyridine deriv.)

IT 108612-48-2P 108612-50-6P 108612-55-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and decarboxylation of)

IT 108612-53-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. and N-alkylation of, by (bromomethyl)benzonitrile)
IT 108612-47-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and N-methylation of)
IT **108612-45-9P** 108612-52-8P 108612-57-3P 108612-58-4P
108612-59-5P 108612-60-8P 108612-61-9P 108612-62-0P 108612-63-1P
108612-64-2P 108612-65-3P 108612-66-4P 108612-67-5P 108612-68-6P
108612-69-7P 108612-70-0P 108612-71-1P 108612-72-2P 108612-73-3P
108635-82-1P 108635-84-3P
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as allergy inhibitor)
IT 108612-75-5P 108612-76-6P 108612-77-7P 108612-78-8P 108612-79-9P
108612-80-2P 108612-81-3P 108612-82-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for allergy inhibitors)
IT 17201-43-3, 4-(Bromomethyl)benzonitrile
RL: RCT (Reactant)
(N-alkylation by, of benzimidazole deriv.)

=> fil uspat
FILE 'USPATFULL' ENTERED AT 17:20:58 ON 28 SEP 2000
CA INDEXING COPYRIGHT (C) 2000 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 26 Sep 2000 (20000926/PD)
FILE LAST UPDATED: 26 Sep 2000 (20000926/ED)
HIGHEST PATENT NUMBER: US6125470
CA INDEXING IS CURRENT THROUGH 26 Sep 2000 (20000926/UPCA)
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 26 Sep 2000 (20000926/PD)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jul 2000
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jul 2000

>>> Page images are available for patents from 1/1/1997. Current <<<
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>>> page images are available for display by the end of the day. <<<
>>> Image data for the /FA field are available the following week. <<<

>>> Complete CA file indexing for chemical patents (or equivalents) <<<
>>> is included in file records. A thesaurus is available for the <<<
>>> USPTO Manual of Classifications in the /NCL, /INCL, and /RPCL <<<
>>> fields. This thesaurus includes catchword terms from the <<<
>>> USPTO/MOC subject headings and subheadings. Thesauri are also <<<
>>> available for the WIPO International Patent Classification <<<
>>> (IPC) Manuals, editions 1-6, in the /IC1, /IC2, /IC3, /IC4, <<<
>>> /IC5, and /IC (/IC6) fields, respectively. The thesauri in <<<
>>> the /IC5 and /IC fields include the corresponding catchword <<<
>>> terms from the IPC subject headings and subheadings. <<<

This file contains CAS Registry Numbers for easy and accurate substance identification.

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FILE 'HCAPLUS' ENTERED AT 17:19:32 ON 28 SEP 2000

FILE 'USPATFULL' ENTERED AT 17:20:10 ON 28 SEP 2000
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L62 2 S L61 AND (PD<=19960304 OR PRD<=19960304 OR AD<=19960304)

FILE 'USPATFULL' ENTERED AT 17:20:58 ON 28 SEP 2000

=> d bib abs kwic hitstr tot

L62 ANSWER 1 OF 2 USPATFULL
AN 90:23719 USPATFULL
TI 2-[4-pyrimidin-2-yl amino)piperidin-1-yl]benzimidazole compound
IN Manoury, Philippe, Verrieres le Buisson, France
Binet, Jean, Breuillet, France
Defosse, Gerard, Paris, France
PA Synthelabo, Paris, France (non-U.S. corporation)
PI US 4912219 19900327 <--
AI US 1988-283468 19881212 (7) <--
DCD 20060411
RLI Continuation of Ser. No. US 1986-906279, filed on 10 Sep 1986, now patented, Pat. No. US 4820710
PRAI FR 1985-13453 19850911 <--
DT Utility
EXNAM Primary Examiner: Lee, Mary C.; Assistant Examiner: Nothington-Davis, Zinna
LREP Fleit, Jacobson, Cohn, Price, Holman & Stern
CLMN Number of Claims: 1
ECL Exemplary Claim: 1
DRWN No Drawings
LN.CNT 396
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Benzimidazole derivatives corresponding to the formula (I) ##STR1## in which X is CH or N,

R.sub.1 is either a hydrogen atom, or a benzyl radical which can bear 1 to 3 substituents chosen from halogen atoms and trifluoromethyl, (C.sub.1-4)alkyl, (C.sub.1-4)alkoxy, cyano, methylthio, methylsulphinyl and methylsulphonyl radicals, or a methyl radical bearing a heterocyclic substituent in which the heterocyclic system can be a pyridyl, thienyl or furyl radical and can bear one or more substituents,

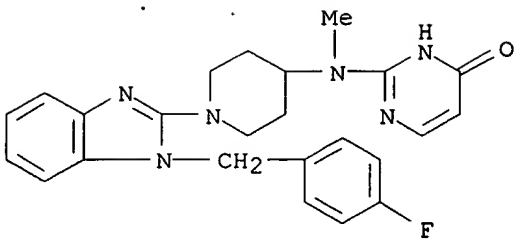
R.sub.2 is a hydrogen atom or a (C.sub.1-4)alkyl radical,

R.sub.3 is a hydrogen atom or a hydroxy radical, and

R.sub.4 is a hydrogen atom or a (C.sub.1-4)alkyl radical, where appropriate, in tautomeric form when R.sub.3 is OH.

The compounds may be used in treating allergy and histamine-induced inflammation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 4912219 19900327 <--
AI US 1988-283468 19881212 (7) <--
PRAI FR 1985-13453 19850911 <--
IT **108612-45-9P** 108612-52-8P 108612-57-3P 108612-58-4P
108612-59-5P 108612-60-8P 108612-61-9P 108612-62-0P 108612-63-1P
108612-64-2P 108612-65-3P 108612-66-4P 108612-67-5P 108612-68-6P
108612-69-7P 108612-70-0P 108612-71-1P 108612-72-2P 108612-73-3P
108635-82-1P 108635-84-3P
(prepn. of, as allergy inhibitor)
IT **108612-45-9P**
(prepn. of, as allergy inhibitor)
RN 108612-45-9 USPATFULL
CN 4(1H)-Pyrimidinone, 2-[[1-[1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl]-4-piperidinyl]methylamino]- (9CI) (CA INDEX NAME)



L62 ANSWER 2 OF 2 USPATFULL
AN 89:27912 USPATFULL
TI Benzimidazole derivatives and pharmaceutical compositions containing them
IN Manoury, Philippe, Verrieres le Buisson, France
Binet, Jean, Breuillet, France
Defosse, Gerard, Paris, France
PA Synthelabo, Paris, France (non-U.S. corporation)
PI US 4820710 19890411 <--
AI US 1986-906279 19860910 (6) <--
PRAI FR 1985-13453 19850911 <--
DT Utility
EXNAM Primary Examiner: Lee, Mary C.; Assistant Examiner: Northington, Zimma
LREP Fleit, Jacobson, Cohn & Price
CLMN Number of Claims: 12
ECL Exemplary Claim: 1,9
DRWN No Drawings
LN.CNT 433
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Benzimidazole derivatives corresponding to the formula (1) ##STR1## in which X is CH or N,

R.sub.1 is either a hydrogen atom, or a benzyl radical which can bear 1 to 3 substituents chosen from halogen atoms and trifluoromethyl, (C.sub.1-4)alkyl, (C.sub.1-4)alkoxy, cyano, methylthio, methylsulphinyl and methylsulphonyl radicals, or a methyl radical bearing a heterocyclic substituent in which the heterocyclic system can be a pyridyl, thienyl or furyl radical and can bear one or more substituents, R.sub.2 is a hydrogen atom or a (C.sub.1-4)alkyl radical, R.sub.3 is a hydrogen atom or a hydroxy radical, and R.sub.4 is a hydrogen atom or a (C.sub.1-4)alkyl radical, where appropriate, in tautomeric form when R.sub.3 is OH.

The compounds may be used in treating allergy and histamine-induced inflammation.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
PI US 4820710 19890411 <--
AI US 1986-906279 19860910 (6) <--
PRAI FR 1985-13453 19850911 <--
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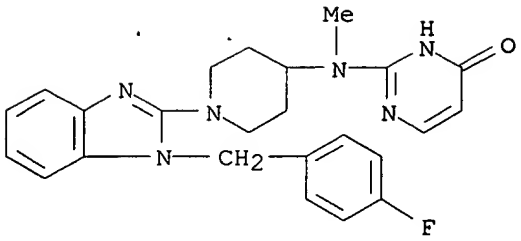
108612-64-2P 108612-65-3P 108612-66-4P 108612-67-5P 108612-68-6P
108612-69-7P 108612-70-0P 108612-71-1P 108612-72-2P 108612-73-3P
108635-82-1P 108635-84-3P
(prepn. of, as allergy inhibitor)
IT **108612-45-9P**
(prepn. of, as allergy inhibitor)
RN 108612-45-9 USPATFULL
CN 4(1H)-Pyrimidinone, 2-[[1-[1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl]-4-piperidinyl]methylamino]- (9CI) (CA INDEX NAME)

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L68            0 S L63 AND FATTY

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DERWENT WEEK FOR POLYMER INDEXING:  200047
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L69  ANSWER 1 OF 5  WPIDS COPYRIGHT 2000   DERWENT INFORMATION LTD
AN   2000-052270 [04]   WPIDS
DNC  C2000-013439
TI   New substituted phenyl-alkyl-imidazole compounds are H3 receptor
     antagonists, used to treat allergy, inflammation, hypotension etc..
DC   B03
IN   ASLANIAN, R G
PA   (SCHE) SCHERING CORP
CYC  1
PI   US 5990147    A  19991123 (200004)*      14p    C07D233-64
ADT  US 5990147 A Provisional US 1997-64885 19971107, Provisional US 1998-95357
     19980805, US 1998-186492 19981105
PRAI US 1998-186492   19981105; US 1997-64885    19971107; US 1998-95357
     19980805
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IC ICM C07D233-64
ICS A61K031-415
AB US 5990147 A UPAB: 20000124
NOVELTY - The compound N-(4-(imidazol-4-ylmethyl)benzyl)-N'-(3,5-dichlorophenyl) urea (I) is new.
DETAILED DESCRIPTION - The compound N-(4-(imidazol-4-ylmethyl)benzyl)-N'-(3,5-dichlorophenyl) urea (I) is new.
An INDEPENDENT CLAIM is made for the use of compounds (I) in combination with a histamine H1 receptor antagonist for the treatment of upper airway allergic responses, wherein the H1 antagonist is selected from astemizole, azatadine, azelastine, brompheniramine, cetirizine, chlorpheniramine, clemastine, carebastine, descarboethoxyloratadine, diphenhydramine, doxylamine, ebastine, fexofenadine, loratadine, levocaabastine, **mizolastine**, norastemizole and terfenadine.
ACTIVITY - (I') are antiallergy, antiinflammatory and cardiovascular, gastrointestinal and CNS active.
MECHANISM OF ACTION - (I') are antagonists of the H3 receptor.
USE - (I') can be used for treating allergy e.g. asthma, inflammation, cardiovascular disease, hypotension, raised intraocular pressure e.g. glaucoma, sleeping disorders e.g. hypersomnia, somnolence, narcolepsy and sleeplessness such as insomnia, diseases of the GI tract, states of hyper and hypo motility and acidic secretion of the GI tract, disturbances of the CNS , hypo and hyperactivity of the CNS e.g. agitation and depression and other CNS disorders e.g. Alzheimer's, schizophrenia, obesity and migraine.
Dwg.0/0
FS CPI
FA AB; GI; DCN
MC CPI: B07-D09; B14-C03; B14-E10; B14-E12; B14-F01; B14-F02A; B14-F02D; B14-G02A; B14-J01; B14-J01A1; B14-J01A4; B14-J01B3; B14-K01A; B14-L05; B14-N03
TECH UPTX: 20000124
TECHNOLOGY FOCUS - ORGANIC CHEMISTRY - Preparation: For compounds (I') wherein A is CH2OCONH, a hydroxy compound of formula (II) is reacted with the isocyanate of formula (III) and then the product is deprotected:

L69 ANSWER 2 OF 5 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD
AN 2000-001218 [01] WPIDS
DNC C2000-000349
TI Use of imidazole derivatives for treating autoimmune diseases and psoriasis.
DC B02
IN FUNAYAMA, K; HONDA, K; YAMADA, N
PA (SNFI) SANOFI-SYNTHELABO
CYC 25
PI EP 958820 A1 19991124 (200001)* EN 14p A61K031-505
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI
ADT EP 958820 A1 EP 1998-401197 19980519
PRAI EP 1998-401197 19980519
IC ICM A61K031-505
AB EP 958820 A UPAB: 20000105
NOVELTY - Use of benzimidazole or pyridimidazole derivatives (I) for the preparation of a medicament for treating autoimmune diseases is new.
DETAILED DESCRIPTION - Use of a benzimidazole or pyridimidazole derivatives of formula (I) and their tautomers, salts or hydrates for the preparation of a medicament for treating autoimmune diseases is new.
X = CH or N;
R1 = H, benzyl optionally substituted by up to 3 T, heterocyclic methyl (where heterocycle is pyridyl, thienyl or furyl and is optionally substituted by 1 or more T);
T = halogen, CF3, 1-4C alkyl, 1-4C alkoxy, CN, CH3S, CH3SO2, methylsulfinyl;
R2, R4 = H or 1-4C alkyl; and
R3 = H or OH.
ACTIVITY - Immunosuppressive; Antipsoriatic; Antirheumatic;

antiarthritic; neuroprotective; dermatological; Antiinflammatory.

MECHANISM OF ACTION - Interleukin-4 and Tumour Necrosis Factor- alpha production inhibitor. 2-((1-(1-((4-Fluorophenyl)methyl)-1H-benzimidazol-2-yl)-4-piperidyl)methylamino)-4-pyrimidinol (**Mizolastine** (RTM)) (Ia) showed 50% inhibition against the production of interleukin-4 from mouse bone marrow-derived mast cells (BMMC) at 10 mu M and (IC50 = 4.1 mu M) against the production of Tumour Necrosis Factor- alpha (TNF- alpha) from mouse macrophages, **Mizolastine** did not appear to affect the production of TNF- alpha from mouse BMMC.

USE - (I) can be used in the preparation of medicaments to treat auto-immune diseases e.g. rheumatoid arthritis, multiple sclerosis, lupus erythematodes discoidalis and systemic erythematodes and for psoriasis (all claimed). It is also useful in the preparation of medicaments for treating diseases in which Interleukin-4 (IL-4) and/or tumor necrosis factor- alpha (TNF- alpha) (claimed) are involved.

ADVANTAGE - (I) can be used in the preparation of medicaments to treat auto-immune diseases and diseases in which Interleukin-4 (IL-4) and/or tumor necrosis factor alpha (TNF- alpha) (claimed) are involved. The inhibitory efficiency of (I) in IL-4 and its cell dependent inhibitory activity of TNF- alpha is a unique combination of anti-cytokine activity. (I) does not reduce the overall immune system reactivity.

Dwg.0/0

FS CPI
FA AB; GI; DCN
MC CPI: B06-D05; B06-D08; B14-C09B; B14-F02; B14-G02D; B14-L06; B14-L07; B14-N17; B14-N17C; B14-S01

L69 ANSWER 3 OF 5 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD
AN 1999-182782 [16] WPIDS
DNC C1999-053428
TI Topical, antiallergic, antiinflammatory composition - useful for treating vasomotoric rhinitis, conjunctivitis, cold, cold-like and/or flu symptoms.
DC B05
IN DIEZ CRESPO, M D C; MAINARDI, R; MUCKENSCHNABEL, R; SZELENYI, I; DEL CARMEN DIEZ CRESPO, M; CRESPO, M D C D; SZELENYI, S
PA (ASTA) ASTA MEDICA AG
CYC 33
PI EP 903151 A1 19990324 (199916)* EN 9p A61K045-06
R: AL AT BE CH DE DK ES FI FR GB GR IE IT LI LT LU LV MC NL PT RO SE SI
WO 9915203 A1 19990401 (199920) EN A61K045-06
W: AU BR CA DE ES JP MX NO NZ PL RU
ZA 9808638 A 19990526 (199927) 17p A61K000-00
AU 9895400 A 19990412 (199934) A61K045-06
NO 2000001459 A 20000321 (200035) A61K000-00
ADT EP 903151 A1 EP 1997-116494 19970922; WO 9915203 A1 WO 1998-EP5795 19980911; ZA 9808638 A ZA 1998-8638 19980921; AU 9895400 A AU 1998-95400 19980911; NO 2000001459 A WO 1998-EP5795 19980911, NO 2000-1459 20000321
FDT AU 9895400 A Based on WO 9915203
PRAI EP 1997-116494 19970922
IC ICM A61K000-00; A61K045-06
ICS A61K031-415; A61K031-55
ICI A61K031-55, A61K031:415; A61K031-55, A61K031:415
AB EP 903151 A UPAB: 19990424

NOVELTY - Topical composition comprises a non-sedating antihistamine or its salts (I), an alpha -adrenergic agonist or its salts (II) and a conventional carrier and/or diluting agent.

TECHNOLOGY FOCUS - PHARMACEUTICALS - Preferred (I) is acrivastine, antazoline, astemizole, azelastine, cetirizine, ebastine, efletirizine, epinastine, fexofenadine, loratidine, levocabastine, **mizolastine**, oxatomide, setastine, temelastine or terfenadine; Preferred (II) is epinephrine, fenoxazoline, indanazoline, naphazoline, oxedrine, oxymetazoline, phenylephrine, tefazoline, tetryzoline, tramazoline, tymazoline or xylometazoline

Preferred Composition: The composition contains 0.001-0.5%(preferably 0.05-0.1%) antihistamine and 0.001-0.2%(preferably 0.05-0.1%) alpha

-adrenergic agonist (excluding phenylephrine); or 0.01-15%(preferably 0.1-2%) phenylephrine.

MECHANISM OF ACTION - None given.

ACTIVITY - Antiinflammatory; antiallergic; alpha -adrenergic agonist.

USE - For treating allergic and/or vasomotoric rhinitis, conjunctivitis, cold, cold-like and/or flu symptoms.

ADVANTAGE - The compostion can be applied topically as compared to prior art formulations which were taken orally.

FS CPI
FA AB; DCN
MC CPI: B07-D03; B07-D04; B07-D06; B07-D09; B10-B04B; B14-G02A; B14-L09; B14-N04

L69 ANSWER 4 OF 5 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD
AN 1999-012126 [02] WPIDS
DNC C1999-004128
TI Anti inflammatory use of **mizolastin** - for treatment of e.g. arthritis, cystic fibrosis, psoriasis, gastritis, colitis.
DC B02
IN ANGEL, I; ARBILLA, S; EVEN, L; GOLDHILL, J; PICHAT, P; ROOME, N
PA (SYNO) SYNTHELABO
CYC 84
PI FR 2762214 A1 19981023 (199902)* 7p A61K031-505
WO 9847511 A1 19981029 (199902) FR A61K031-505
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SZ UG ZW
W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE GH GM GW HU ID IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZW
ZA 9803211 A 19981230 (199907) 11p A61K000-00
AU 9874360 A 19981113 (199913) A61K031-505
EP 1007047 A1 20000614 (200033) FR A61K031-505
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MK NL PT RO SE SI
ADT FR 2762214 A1 FR 1997-4801 19970417; WO 9847511 A1 WO 1998-FR765 19980416; ZA 9803211 A ZA 1998-3211 19980416; AU 9874360 A AU 1998-74360 19980416; EP 1007047 A1 EP 1998-921544 19980416, WO 1998-FR765 19980416
FDT AU 9874360 A Based on WO 9847511; EP 1007047 A1 Based on WO 9847511
PRAI FR 1997-4801 19970417
IC ICM A61K000-00; A61K031-505
AB FR 2762214 A UPAB: 19990127
Use of **mizolastin** (2-[[1-[1-(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl]piperidin-4-yl]methylamino]pyrimidin-4-ol or (2-[[1-[1-(4- fluorophenyl)methyl]-1H-benzimidazol-2-yl]piperidin-4-yl]methylamino]pyrimidin-4(1H)-one) (I) and its salts for the treatment of inflammation.

USE - (I) inhibits the action of 5-lypoxygenase (5-LO) and is used to treat inflammation resulting from the action of 5-lypoxygenase on arachidonic acid, including adult acute respiratory distress, rheumatoid arthritis, cystic fibrosis, psoriasis, irritable bowel syndrome, gastritis, Crohn's disease, ileocolitis, enterocolitis, ulcerative colitis, and inflammatory colitis (claimed).

Dwg.0/0
FS CPI
FA AB; DCN
MC CPI: B06-D05; B14-C03; B14-C09B; B14-D05A; B14-E10; B14-K01; B14-N17C

L69 ANSWER 5 OF 5 WPIDS COPYRIGHT 2000 DERWENT INFORMATION LTD
AN 1997-470488 [43] WPIDS
DNC C1997-149432
TI Prolonged release anti allergic **mizolastin** tablets - contain a fatty matrix and an organic acid.
DC A96 B02 B07
IN CHARIOT, M; LEWIS, G; MONTEL, J
PA (SYNO) SYNTHELABO

CYC 78
PI WO 9732584 A1 19970912 (199743)* FR 13p A61K031-495
RW: AT BE CH DE DK EA ES FI FR GB GH GR IE IT KE LS LU MC MW NL OA PT SD SE SZ UG
W: AL AM AT AU AZ BA BB BG BR BY CA CH CN CU CZ DE DK EE ES FI GB GE GH HU IL IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK TJ TM TR TT UA UG US UZ VN YU
FR 2745500 A1 19970905 (199743) A61K031-505
ZA 9701830 A 19971126 (199802) 14p A61K000-00
AU 9719300 A 19970922 (199804) A61K031-495
NO 9804035 A 19981022 (199901) A61K031-505
CZ 9802791 A3 19990113 (199908) A61K031-495
SK 9801210 A3 19990111 (199911) A61K031-495
EP 906101 A1 19990407 (199918) FR A61K031-495
R: AL AT BE CH DE DK ES FI FR GB GR IE IT LI LT LU LV NL PT RO SE SI
BR 9707827 A 19990727 (199941) A61K031-495
CN 1212624 A 19990331 (200005) A61K031-495
NZ 331947 A 20000327 (200022) A61K009-20
HU 9902458 A2 20000528 (200035) A61K031-495
ADT WO 9732584 A1 WO 1997-FR355 19970228; FR 2745500 A1 FR 1996-2662 19960304; ZA 9701830 A ZA 1997-1830 19970303; AU 9719300 A AU 1997-19300 19970228; NO 9804035 A WO 1997-FR355 19970228, NO 1998-4035 19980902; CZ 9802791 A3 WO 1997-FR355 19970228, CZ 1998-2791 19970228; SK 9801210 A3 WO 1997-FR355 19970228, SK 1998-1210 19970228; EP 906101 A1 EP 1997-907145 19970228, WO 1997-FR355 19970228; BR 9707827 A BR 1997-7827 19970228, WO 1997-FR355 19970228; CN 1212624 A CN 1997-192804 19970228; NZ 331947 A WO 1997-FR355 19970228, NZ 1998-331947 19970228; HU 9902458 A2 WO 1997-FR355 19970228, HU 1999-2458 19970228
FDT AU 9719300 A Based on WO 9732584; CZ 9802791 A3 Based on WO 9732584; EP 906101 A1 Based on WO 9732584; BR 9707827 A Based on WO 9732584; NZ 331947 A Based on WO 9732584; HU 9902458 A2 Based on WO 9732584
PRAI FR 1996-2662 19960304
REP 1.Jnl.Ref; JP 62061979
IC ICM A61K000-00; A61K009-20; A61K031-495; A61K031-505
ICS A61K009-20
AB WO 9732584 A UPAB: 19971030
Coated tablets containing **mizolastin** (I), a fatty matrix, and an organic acid (II), are new. The weight ratio of (I)/(II) is preferably 0.3 - 1. Acids (II) include maleic, tartaric, malic, fumaric, lactic, citric, adipic, and succinic acids, as racemates or isomers. Preferably it is L-tartaric acid. The fatty matrix may be derived from hydrogenated castor oil, hydrogenated lecithins, long chain fatty acids, or triglycerides esterified with medium chain length acids. The tablet coating is selected to prevent light degradation. The tablets also contain conventional excipients such as lactose, mannitol, sugars, microcrystalline cellulose, starch, calcium phosphates and sulphates, povidone, and substituted celluloses.
USE - The tablets are prolonged release anti-allergic.
ADVANTAGE - When given orally using conventional formulations, there is a peak in the plasmatic concentration of (I) that causes undesirable sedative effects. This new formulation causes a lesser peak in plasmatic concentration, and so overcomes the problem.
Dwg.0/3
FS CPI
FA AB
MC CPI: A12-V01; B04-B01C1; B04-C02A1; B04-C02B2; B04-C03A; B05-B01P; B05-B02A3; B07-A02B; B10-A07; B10-C02; B10-C04D; B10-C04E; B10-E04C; B12-M10A; B12-M11B; B14-G02A

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     FILE 'USPATFULL, ADISALERTS, ADISINSIGHT, AIDSLINE, BABS, BIOBUSINESS,
      BIOCOMMERCE, BIOSIS, BIOTECHNO, CANCERLIT, CAPLUS, CBNB, CEN, CIN,
      CONFSCI, DGENE, DIOGENES, DRUGB, DRUGLAUNCH, DRUGMONOG2, DRUGNL, DRUGU,
      EMBAL, EMBASE, ESBIOBASE, IFIPAT, INVESTEXT, ...' ENTERED AT 14:24:59 ON
      26 SEP 2000
L1          1180 S MIZOLASTINE
L2       1356121 S MATRIX OR MATRICES
L3        367134 S TABLET
L4       4690637 S SUSTAINED OR CONTROLLED
L5            10 S L1 AND L2
L6            67 S L1 AND L3
L7           300 S L1 AND L4
L8            17 S L6 AND L7
L9             3 S L8 AND L5
L10            7 S L5 NOT L9
L11            1 S L10 AND L7
L12            2 S L10 AND L6
L13            6 S L10 NOT L11
L14            4 S L13 NOT L12
L15           14 S L8 NOT L5
L16            6 DUP REM L15 (8 DUPLICATES REMOVED)
L17        98986 S ANTIHISTAMINE
L18         7936 S HYDROGENATED (W) (CASTOR OR LECITHIN)
L19      1005501 S STEARIC OR PALMITIC OR PALMITOLEIC OR OLEIC OR LINOLEIC OR
LI
L20         5298 S TRIGLYCERIDE (3A) (ESTER OR ESTERIFY OR ESTERIFIED OR
ESTERIF

     FILE 'STNGUIDE' ENTERED AT 14:50:01 ON 26 SEP 2000

     FILE 'USPATFULL, ADISALERTS, ADISINSIGHT, AIDSLINE, BABS, BIOBUSINESS,
      BIOCOMMERCE, BIOSIS, BIOTECHNO, CANCERLIT, CAPLUS, CBNB, CEN, CIN,
      CONFSCI, DGENE, DIOGENES, DRUGB, DRUGLAUNCH, DRUGMONOG2, DRUGNL, DRUGU,
      EMBAL, EMBASE, ESBIOBASE, IFIPAT, INVESTEXT, ...' ENTERED AT 14:52:28 ON
      26 SEP 2000
L21           35 S (L3 (P) (COATED OR COATING)) AND L17 AND (L2 (P) (L18 OR
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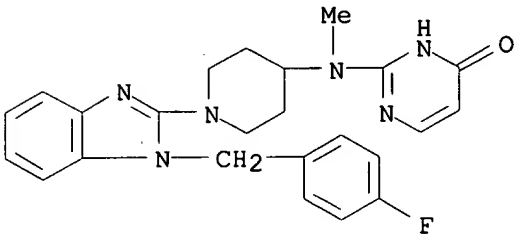
L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2000 ACS
RN 108612-45-9 REGISTRY
CN 4(1H)-Pyrimidinone, 2-[[1-[1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl]-4-piperidinyl]methylamino]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN **Mizolastine**
CN MKC 431
MF C24 H25 F N6 O
SR CA
LC STN Files: ADISINSIGHT, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CAPLUS, CBNB, CIN, DDFU, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, IPA, MEDLINE, MRCK*, PHAR, PROMT, RTECS*, TOXLINE, TOXLIT, USAN, USPATFULL
(*File contains numerically searchable property data)



86 REFERENCES IN FILE CA (1967 TO DATE)
86 REFERENCES IN FILE CAPLUS (1967 TO DATE)

L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2000 ACS
ACCESSION NUMBER: 1997:617976 CAPLUS
DOCUMENT NUMBER: 127:268032
TITLE: Slow-release pharmaceutical formulations containing **mizolastine**
INVENTOR(S): Chariot, Maryvonne; Lewis, Gareth; Montel, Jean
PATENT ASSIGNEE(S): Synthelabo S. A., Fr.; Chariot, Maryvonne; Lewis, Gareth; Montel, Jean
SOURCE: PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9732584	A1	19970912	WO 1997-FR355	19970228
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
FR 2745500	A1	19970905	FR 1996-2662	19960304
FR 2745500	B1	19980403		
CA 2247405	AA	19970912	CA 1997-2247405	19970228
AU 9719300	A1	19970922	AU 1997-19300	19970228
CN 1212624	A	19990331	CN 1997-192804	19970228
EP 906101	A1	19990407	EP 1997-907145	19970228
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
BR 9707827	A	19990727	BR 1997-7827	19970228
NO 9804035	A	19981022	NO 1998-4035	19980902
PRIORITY APPLN. INFO.:			FR 1996-2662	19960304
			WO 1997-FR355	19970228

AB A slow-release pharmaceutical formulation contg. **mizolastine** comprises a core consisting of a slow-release **tablet** contg. **mizolastine** combined with a fatty **matrix**, and an org. acid, said **tablet** being coated. Slow-release **tablets** contained **mizolastine** 4.8, **hydrogenated castor** oil 12, lactose 60.0, microcryst. cellulose 9.6, L-**tartaric** acid 9.6, polyvidone 2.9, anhyd. colloidal silica 0.2, magnesium stearate 0.9, and water q.s. 100%. The **tablets** were coated with a compn. contg. methylhydroxy Pr cellulose 74.0, titanium dioxide 18.5, propylene glycol 7.5, and water q.s. 100%.

L9 ANSWER 2 OF 2 TOXLIT
ACCESSION NUMBER: 1997:145952 TOXLIT
DOCUMENT NUMBER: CA-127-268032V
TITLE: Slow-release pharmaceutical formulations containing **mizolastine.**
AUTHOR: Chariot M; Lewis G; Montel J
SOURCE: (1997). PCT Int. Appl. PATENT NO. 9732584 09/12/1997 (Montel, Jean).
CODEN: PIXXD2.
PUB. COUNTRY: FRANCE
DOCUMENT TYPE: Patent

FILE SEGMENT: CA
LANGUAGE: French
OTHER SOURCE: CA 127:268032
ENTRY MONTH: 199805

AB A slow-release pharmaceutical formulation contg. **mizolastine** comprises a core consisting of a slow-release **tablet** contg. **mizolastine** combined with a fatty **matrix**, and an org. acid, said **tablet** being coated. Slow-release **tablets** contained **mizolastine** 4.8, **hydrogenated castor** oil 12, lactose 60.0, microcryst. cellulose 9.6, L-**tartaric** acid 9.6, polyvidone 2.9, anhyd. colloidal silica 0.2, magnesium stearate 0.9, and water q.s. 100%. The **tablets** were coated with a compn. contg. methylhydroxy Pr cellulose 74.0, titanium dioxide 18.5, propylene glycol 7.5, and water q.s. 100%.

L1 ANSWER 1 OF 1 DRUGU COPYRIGHT 2000 DERWENT INFORMATION LTD
AN 1996-31120 DRUGU T
TI Antihistamines for the treatment of nasal congestion.
AU **Mosqes R**; Bahre M; Spaeth J; Klimek L; Conrad F
LO Copenhagen, Den.
SO ; Allergy (51, Suppl. 31, 157, 1996)
CODEN: ; LLRG
AV No Reprint Address.
LA English
DT Journal
AB In a series of 9 double-blind clinical trials including 1180 patients suffering from seasonal allergic rhinitis the Authors studied the influence of antihistamines on nasal obstruction using computed rhinomanometry and/or acoustic rhinometry. With these objective methods they demonstrated a significant improvement of nasal flow for mizolastine tablets, loratadine tablets, cetirizine tablets and azelastine nasal spray. For severe cases of nasal congestion a combined nasal and p.o. treatment with azelastine nasal spray and azelastine tablets proved most effective. (conference abstract).
SH T Therapeutics
CC 3 Antiallergics
64 Clinical Trials
CT HAY-FEVER *TR; ORL-DISEASE *TR; ALLERGY *TR; CASES *FT; IN-VIVO *FT; DOUBLE *FT; BLIND-TEST *FT; CLIN.TRIAL *FT; ANTIHISTAMINE-H1 *FT
[01] MIZOLASTINE *TR; SL-850324 *RN; P.O. *FT; PAF-ANTAGONISTS *FT; ANTIANAPHYLACTICS *FT; ANTIHISTAMINES-H1 *FT; TR *FT
RN: 108612-45-9
[02] LORATADINE *TR; LORATADIN *RN; P.O. *FT; ANTIHISTAMINES-H1 *FT; TR *FT
RN: 79794-75-5
[03] CETIRIZINE *TR; CETIRIZIN *RN; P.O. *FT; ANTIHISTAMINES-H1 *FT; TR *FT
RN: 83881-51-0
[04] AZELASTINE *TR; AZELASTIN *RN; SPRAY *FT; INHALATION *FT; PHARM.PREP. *FT; ANTIHISTAMINES-H1 *FT; TR *FT
RN: 58581-89-8
FA AB; LA; CT
FS Literature

FILE 'USPATFULL, ADISALERTS, ADISINSIGHT, AIDSLINE, BABS, BIOBUSINESS, BIOCOMMERCE, BIOSIS, BIOTECHNO, CANCERLIT, CAPLUS, CBNB, CEN, CIN, CONFSCI, DGENE, DIOGENES, DRUGB, DRUGLAUNCH, DRUGMONOG2, DRUGNL, DRUGU, EMBAL, EMBASE, ESBIOBASE, IFIPAT, INVESTEXT, ...' ENTERED AT 10:52:34 ON 25 SEP 2000

L2	1184	S	MIZOLASTINE OR 108612-45-9/RN
L3	1355295	S	MATRIX OR MATRICES
L4	10182	S	HYDROGENATED (3A) (CASTOR OR LECITHIN)
L5	37074	S	LAURIC OR PALMITIC STEARIC OLEIC LINOLEIC LINOLENIC ARACHIDON
L6	7867	S	TRIGLYCERIDE (5A) (ESTER OR ESTERIFY OR ESTERIFIED OR ESTERIF
L7	666822	S	MALEIC OR TARTARIC OR MALIC OR FUMARIC OR LACTIC OR CITRIC OR
L8	366882	S	TABLET
L9	2	S	L2 AND L3 AND (L4 OR L5 OR L6) AND L7 AND L8
L10	574	S	L3 (P) (L4 OR L5 OR L6)
L11	2	S	L2 AND L10
L12	10	S	L2 AND L3
L13	5	S	L12 AND L8